STIC Search Report
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STIC Database Tracking Number: 132662

TO: Shailendra Kumar **Location: 5c03 / 5c18** **Tuesday, September 21, 2004** **Art Unit: 1621** **Phone: 272-0640** **Serial Number: 10 / 706594**	**From: Jan Delaval** **Location: Biotech-Chem Library** **Rem 1A51** **Phone: 272-2504** **jan.delaval@uspto.gov**

Search Notes

Jan Please

Access DB# 132662

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: S. Kumar Examiner #: 69594 Date: 9/16/04
Art Unit: 1621 Phone Number 30 2-0640 Serial Number: 10/706595
Mail Box and Bldg/Room Location: REM 5C18 / 5C03 Results Format Preferred (circle): PAPER/DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

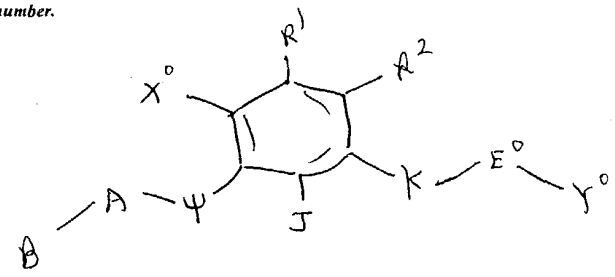
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Polycyclic aryl and heteroaryl substituted benzenes . . .

Inventors (please provide full names): Michael S. South et. al.

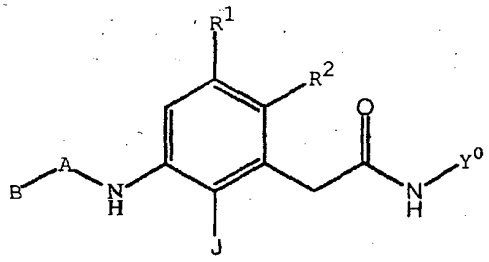
Earliest Priority Filing Date: 3/13/2000

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



See claim 1

Specie



wherein;

[R^2 is 3-amino-5-carboxyphenyl, B is cyclobutyl, A is a bond, Y^0 is 4-amidinobenzyl, J is fluoro, and R^1 is hydrido;]

****

STAFF USE ONLY

Searcher: Jan	**Type of Search**	**Vendors and cost where applicable**
Searcher Phone #: 22504	NA Sequence (#)	STN ✓
Searcher Location:	AA Sequence (#)	Dialog
Date Searcher Picked Up: 9/21	Structure (#) ✓	Questel/Orbit
Date Completed: 9/21	Bibliographic	Dr.Link
Searcher Prep & Review Time:	Litigation	Lexis/Nexis
Clerical Prep Time: 30	Fulltext	Sequence Systems
Online Time: ~10	Patent Family	WWW/Internet
	Other	Other (specify)

PTO-1590 (8-01)

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STRUCTURE FILE UPDATES: 19 SEP 2004 HIGHEST RN 748118-51-6
DICTIONARY FILE UPDATES: 19 SEP 2004 HIGHEST RN 748118-51-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
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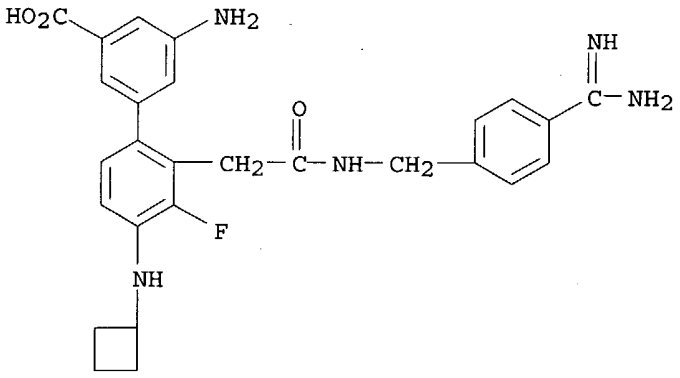
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d l3 ide can

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN **361340-15-0** REGISTRY
CN [1,1'-Biphenyl]-3-carboxylic acid, 5-amino-2'-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-4'-(cyclobutylamino)-3'-fluoro- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF **C27 H28 F N5 O3**
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:257039

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               SEL RN

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L2           381 S E1-E381
L3             1 S L2 AND C27H28FN5O3
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L6             1 S L3

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L7             4 S L3

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FILE COVERS 1907 - 21 Sep 2004  VOL 141 ISS 13
FILE LAST UPDATED: 20 Sep 2004  (20040920/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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L6   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2004 ACS on STN
AN   2001:693283  HCAPLUS
DN   135:257039
ED   Entered STN:  21 Sep 2001
TI   Preparation of polycyclic aryl and heteroaryl substituted benzenes useful
     for selective inhibition of the coagulation cascade
IN   South, Michael S.; Parlow, John J.
PA   Pharmacia Corporation, USA
SO   PCT Int. Appl., 437 pp.
     CODEN: PIXXD2
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DT Patent
LA English
IC ICM C07D211-26
ICS C07D213-40; C07D521-00; C07C257-18; C07C311-21; A61K031-4465; A61P007-02
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1
FAN.CNT 1

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PI	WO 2001068605	A1	20010920	WO 2001-US7918	20010313
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	US 2002025947	A1	20020228	US 2001-804959	20010313
	US 6660885	B2	20031209		
	US 2003236231	A1	20031225	US 2002-203866	20021113
	US 2004138275	A1	20040715	US 2003-706595	20031112
PRAI	US 2000-188943P	P	20000313		
	US 2000-252159P	P	20001120		
	US 2001-804959	A1	20010313		
	WO 2001-US7918	W	20010313		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001068605	ICM	C07D211-26
	ICS	C07D213-40; C07D521-00; C07C257-18; C07C311-21; A61K031-4465; A61P007-02
US 2002025947	ECLA	C07C257/18; C07C311/13; C07C323/49; C07C323/63; C07D211/26; C07D213/40B; C07D521/00B1N3

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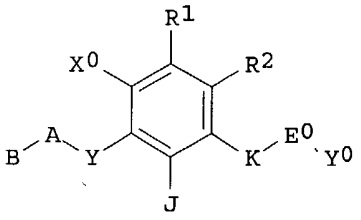

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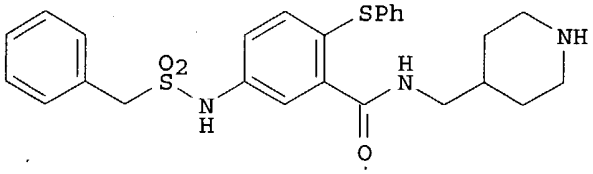

II

AB The title compds. [I; J = H, halo, OH, etc.; B = (un)substituted aryl, heteroaryl; A = a bond, CH2SO2, CH2, (CH2)2, etc.; Y = NH, O, CO, etc.; X0, R1, R2 = H, alkyl, halo, etc.; K = a bond, CH2, etc.; E0 = a bond, O, CONH, etc.; Y0 = (4-piperidinyl)methyl, (amidino)benzyl, etc.] and their pharmaceutically acceptable salts, useful as inhibitors of serine proteases of the coagulation cascade, were prepared E.g., a multi-step synthesis of II.HCl which showed IC50 of > 30 μM against factor VIIa, factor Xa and thrombin, and IC50 of 0.3 μM against trypsin, was given.

ST benzamidine polycyclic aryl heteroaryl prepn anticoagulant platelet aggregation inhibitor; benzene polycyclic aryl heteroaryl prepn anticoagulant platelet aggregation inhibitor; serine protease inhibitor benzamidine polycyclic aryl heteroaryl prepn; factor VIIa Xa inhibitor benzamidine polycyclic aryl heteroaryl prepn; thrombin inhibitor benzamidine polycyclic aryl heteroaryl prepn; trypsin inhibitor benzamidine polycyclic aryl heteroaryl prepn

IT Anticoagulants
Platelet aggregation inhibitors
(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)

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(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)

IT 9002-04-4, thrombin 9002-05-5, factor Xa 9002-07-7, trypsin
37259-58-8, serine protease 65312-43-8, factor VIIa
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(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)

IT 67-64-1, Acetone, reactions 100-52-7, Benzaldehyde, reactions
108-98-5, Thiophenol, reactions 122-78-1, Phenylacetaldehyde 591-19-5, m-Bromoaniline 813-19-4, Bis(tributyltin) 960-16-7 1939-99-7, α-Toluenesulfonyl chloride 3731-53-1, 4-Pyridylmethylamine 5036-48-6, 3-(Imidazol-1-yl)propylamine 7144-05-0, 4-Piperidinemethanamine 7304-32-7, 2-Fluoro-5-nitrobenzoic acid 19293-58-4, 4-Dimethylaminobenzylamine 85068-28-6, 2,6-Difluorophenylacetic acid 147291-50-7 162698-22-8 226942-83-2
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RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)

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(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)

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RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Illig, C; US 5741819 A 1998 HCAPLUS

(2) Ljungberg; EUR J PHAR SCI 2001, V12(4), P441 HCAPLUS

(3) Terumo Corp; JP 07233148 A 1995 HCAPLUS

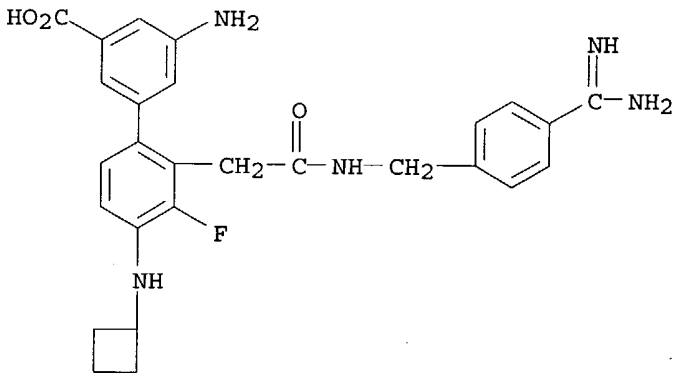
IT **361340-15-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)

RN 361340-15-0 HCAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 5-amino-2'-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-4'-(cyclobutylamino)-3'-fluoro- (9CI) (CA INDEX NAME)



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CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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L7  ANSWER 1 OF 4  USPATFULL on STN
AN      2004:179105  USPATFULL
TI      Polycyclic aryl and heteroaryl substituted benzenes useful for selective
        inhibition of the coagulation cascade
IN      South, Michael S., St. Louis, MO, UNITED STATES
        Parlow, John J., Arnold, MO, UNITED STATES
PA      Pharmacia Corporation (U.S. corporation)
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AI      US 2003-706595     A1   20031112 (10)
RLI     Continuation of Ser. No. US 2001-804959, filed on 13 Mar 2001, GRANTED,
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        US 2000-188943P     20000313 (60)
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FS      APPLICATION
LREP    SENNIGER POWERS LEAVITT AND ROEDEL, ONE METROPOLITAN SQUARE, 16TH FLOOR,
        ST LOUIS, MO, 63102
CLMN    Number of Claims: 59
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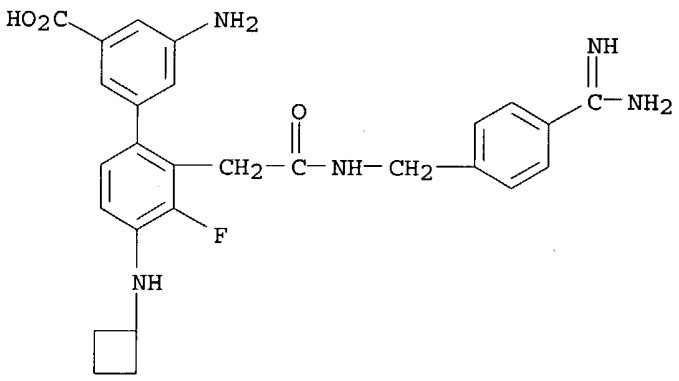
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 12687
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to polycyclic aryl and heteroaryl substituted benzene compounds useful as inhibitors of serine proteases of the coagulation cascade and compounds, compositions and methods for anticoagulant therapy for the treatment and prevention of a variety of thrombotic conditions including coronary artery and cerebrovascular diseases.

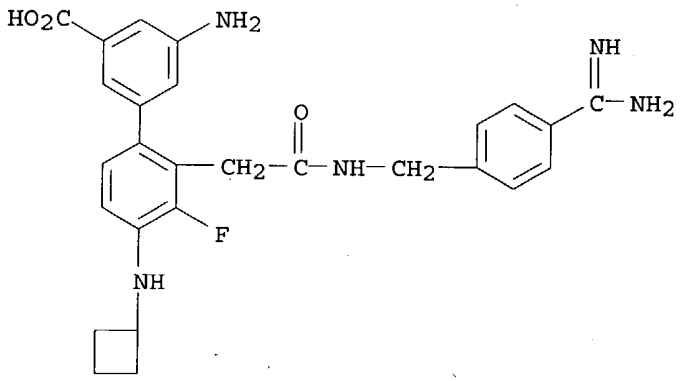
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **361340-15-0P**
(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)
RN 361340-15-0 USPATFULL
CN [1,1'-Biphenyl]-3-carboxylic acid, 5-amino-2'-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-4'-(cyclobutylamino)-3'-fluoro- (9CI) (CA INDEX NAME)



L7 ANSWER 2 OF 4 USPATFULL on STN
AN 2003:335353 USPATFULL
TI Polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade
IN South, Michael S, St Louis, MO, UNITED STATES
Parlow, John J, Arnold, MO, UNITED STATES
PI US 2003236231 A1 20031225
AI US 2002-203866 A1 20021113 (10)
WO 2001-US7918 20010313
DT Utility
FS APPLICATION
LREP SENNIGER POWERS LEAVITT AND ROEDEL, ONE METROPOLITAN SQUARE, 16TH FLOOR, ST LOUIS, MO, 63102
CLMN Number of Claims: 59
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 12689
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to polycyclic aryl and heteroaryl substituted benzene compounds useful as inhibitors of serine proteases of the coagulation cascade and compounds, compositions and methods for anticoagulant therapy for the treatment and prevention of a variety of thrombotic conditions including coronary artery and cerebrovascular diseases.

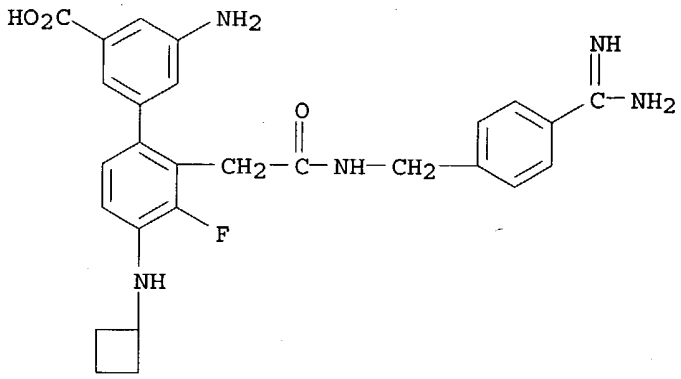
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **361340-15-0P**
(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)
RN 361340-15-0 USPATFULL
CN [1,1'-Biphenyl]-3-carboxylic acid, 5-amino-2'-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-4'-(cyclobutylamino)-3'-fluoro- (9CI) (CA INDEX NAME)



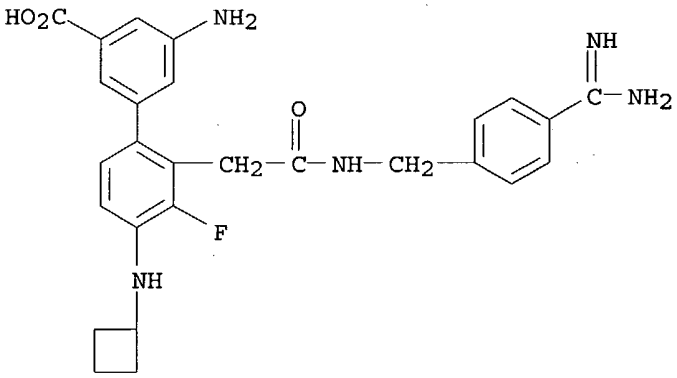
L7 ANSWER 3 OF 4 USPATFULL on STN
AN 2002:43580 USPATFULL
TI Polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade
IN South, Michael S., St. Louis, MO, UNITED STATES
Parlow, John J., Arnold, MO, UNITED STATES
PI US 2002025947 A1 20020228
US 6660885 B2 20031209
AI US 2001-804959 A1 20010313 (9)
PRAI US 2000-252159P 20001120 (60)
US 2000-188943P 20000313 (60)
DT Utility
FS APPLICATION
LREP SENNIGER POWERS LEAVITT AND ROEDEL, ONE METROPOLITAN SQUARE, 16TH FLOOR, ST LOUIS, MO, 63102
CLMN Number of Claims: 59
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 12668
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to polycyclic aryl and heteroaryl substituted benzene compounds useful as inhibitors of serine proteases of the coagulation cascade and compounds, compositions and methods for anticoagulant therapy for the treatment and prevention of a variety of thrombotic conditions including coronary artery and cerebrovascular diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **361340-15-0P**
(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)
RN 361340-15-0 USPATFULL
CN [1,1'-Biphenyl]-3-carboxylic acid, 5-amino-2'-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-4'-(cyclobutylamino)-3'-fluoro- (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 4 USPAT2 on STN
AN 2002:43580 USPAT2
TI Polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade
IN South, Michael S., St. Louis, MO, United States
Parlow, John J., Arnold, MO, United States
PA Pharmacia Corporation, Skokie, IL, United States (U.S. corporation)
PI US 6660885 B2 20031209
AI US 2001-804959 20010313 (9)
PRAI US 2000-252159P 20001120 (60)
US 2000-188943P 20000313 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Kumar, Shailendra
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8147
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to polycyclic aryl and heteroaryl substituted benzene compounds useful as inhibitors of serine proteases of the coagulation cascade and compounds, compositions and methods for anticoagulant therapy for the treatment and prevention of a variety of thrombotic conditions including coronary artery and cerebrovascular diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **361340-15-0P**
(preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade)
RN 361340-15-0 USPAT2
CN [1,1'-Biphenyl]-3-carboxylic acid, 5-amino-2'-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-4'-(cyclobutylamino)-3'-fluoro- (9CI) (CA INDEX NAME)



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